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TITLE: Preparation of anthranilic acid amide derivatives as

neoplastic inhibitors

INVENTOR(S): Bold, Guido; Furet, Pascal; Manley, Paul William Novartis Ag, Switz.; Novartis Pharma GmbH PATENT ASSIGNEE(S):

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| | | | | | | A1 | | 20040624 | | WO 2003-EP14086 | | | | | | | | | |
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| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
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| | | | SI, | SK, | TR | | | | | | | | | | | | | | |
| | CA 2506164 | | | | A1 20040624 | | | | CA 2003-2506164 | | | | | | 20031211 | | | | |
| | AU 2003294834 | | | | A1 20040630 | | | | AU 2003-294834 | | | | | | 20031211 | | | | |
| | ΕP | EP 1572686 | | | | A1 20050914 | | | | EP 2003-785795 | | | | | | 20031211 | | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | SK | | |
| | BR 2003017292 | | | | | A | | 20051108 BR 2003-17292 | | | | | | | | 20031211 | | | |
| | CN 1720244 | | | | | | | | | CN 2003-80104845 | | | | | | | | | |
| JP 2006511518 | | | | | T | T 20060406 | | | JP 2004-558075 | | | | | | 20031211 | | | | |
| US 20060128684 | | | | | | A1 | | 2006 | 0615 | | US 2 | 2005- | 5381 | 99 | | 2 | 0050 | 609 | |
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OTHER SOURCE(S): MARPAT 141:71453 GI

AB The title compds. I [wherein R and R0 = independently H, halo, (un) substituted alkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; R1 = H, halo, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, OCF3, OCH2CF3, OCH2CH2CF3, or OCH2CH2CH2CF3; R2 = perfluoroalkyl; R3 = H or halo; X = OH, alkoxy, alkylthio, imino, alkylimino, halo, etc.; Z = N or CH] or salts, N-oxides, or tautomers thereof are prepared as neoplastic inhibitors for the treatment of human or animal body. For example, the compound II was prepared in a multi-step synthesis. Formulations containing I as an active ingredient were also described. 709045-02-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate, reactant; preparation of anthranilic acid amide derivs. as neoplastic inhibitors)

709045-02-3 HCAPLUS RN

CN Benzamide, 2-[[(6-methoxy-5-phenyl-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

709045-03-4P 709045-42-1P 709045-43-2P 709045-44-3P 709045-45-4P 709045-48-7P

709045-49-8P 709045-50-1P 709045-51-2P 709045-52-3P 709045-53-4P 709045-54-5P 709045-55-6P 709045-56-7P 709045-57-8P 709045-58-9P 709045-59-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

STN Search

(drug candidate; preparation of anthranilic acid amide derivs. as neoplastic inhibitors)

- RN 709045-03-4 HCAPLUS
- CN Benzamide, 2-[[(1,6-dihydro-6-oxo-5-phenyl-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-42-1 HCAPLUS
- CN Benzamide, 2-[[[6-methoxy-5-(3-thieny1)-3-pyridiny1]methy1]amino]-N-[3-(trifluoromethy1)pheny1]- (CA INDEX NAME)

- RN 709045-43-2 HCAPLUS
- CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-(3-thienyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-44-3 HCAPLUS
- CN Benzamide, 2-[[(5-[1,1'-biphenyl]-3-yl-6-methoxy-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-45-4 HCAPLUS
- CN Benzamide, 2-[[(5-[1,1'-biphenyl]-3-yl-1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-48-7 HCAPLUS
- CN Benzamide, 2-[[[5-[3-(acetylamino)phenyl]-6-methoxy-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

STN Search

- RN 709045-49-8 HCAPLUS
- CN Benzamide, 2-[[[5-[3-(acetylamino)phenyl]-1,6-dihydro-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-50-1 HCAPLUS
- CN Benzamide, 2-[[[5-(4-formylphenyl)-6-methoxy-3-pyridinyl]methyl]amino]-N[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-51-2 HCAPLUS
- CN Benzamide, 2-[[[5-(4-formylphenyl)-1,6-dihydro-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-52-3 HCAPLUS
- CN Benzamide, 2-[[[6-methoxy-5-[3-(trifluoromethyl)phenyl]-3pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-53-4 HCAPLUS
- CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-[3-(trifluoromethyl)phenyl]-3pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

STN Search

RN 709045-54-5 HCAPLUS

CN Benzamide, 2-[[(2'-methoxy[2,3'-bipyridin]-5'-y1)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 709045-55-6 HCAPLUS

CN Benzamide, 2-[[(1',2'-dihydro-2'-oxo[2,3'-bipyridin]-5'-yl)methyl]amino]-N[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 709045-56-7 HCAPLUS
- CN Benzamide, 2-[[[5-(2-furany1)-6-methoxy-3-pyridiny1]methy1]amino]-N-[3-(trifluoromethy1)pheny1]- (CA INDEX NAME)

- RN 709045-57-8 HCAPLUS
- CN Benzamide, 2-[[[5-(2-furany1)-1,6-dihydro-6-oxo-3-pyridiny1]methy1]amino]-N-[3-(trifluoromethy1)pheny1]- (CA INDEX NAME)

- RN 709045-58-9 HCAPLUS
- CN Benzamide, 2-[[[6-methoxy-5-(2-thiazolyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 709045-59-0 HCAPLUS
CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-(2-thiazoly1)-3 pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)